

COMPOUNDS THAT INTERFERE WITH THE ANDROGEN RECEPTOR COMPLEX: USE IN TREATING PROSTATE CANCER OR ENLARGEMENTS, DIABETES, AND AS CONTRACEPTIVES

SUMMARY

The National Cancer Institute's Urologic Oncology Branch is seeking statements of capability or interest from parties interested in licensing or collaborative research to co-develop, evaluate, or commercialize antagonists of FKBP52-dependent remodeling of the androgen receptor.

REFERENCE NUMBER

E-162-2009

PRODUCT TYPE

- Therapeutics

KEYWORDS

- anti-androgen
- Prostate Cancer
- Diabetes
- Contraception
- FKBP52
- androgen receptor (AR) protein

COLLABORATION OPPORTUNITY

This invention is available for licensing and co-development.

CONTACT

John D. Hewes

NCI - National Cancer Institute

240-276-5515

John.Hewes@nih.gov

DESCRIPTION OF TECHNOLOGY

Investigators at the National Cancer Institute's [Urologic Oncology Branch](#) have discovered compounds that have potential as novel anti-androgen therapeutics. The immunophilin protein FKBP52 is part of a protein complex that helps fold the androgen receptor (AR) protein, a target for treating prostate cancer, and enhances its activity. Disruption of the FKBP52-AR interaction greatly reduces the activity of the AR. With the goal of finding potential therapeutic compounds that inhibit the FKBP52-mediated activation of AR, several small molecules were tested and found to be antagonists of FKBP52 and to

inhibit AR activity in prostate cells. These compounds can serve as therapeutics for the treatment of prostate cancer and benign prostate enlargement. Moreover, FKBP52 is also implicated in the regulation of other hormone receptors so these compounds could be used to treat other hormone-dependent diseases such as diabetes or even used as contraceptives.

One of the standard treatments for prostate cancer makes use of anti-androgens, like bicalutamide, which compete for binding with the natural male hormones to AR and inhibit their proliferative activity. The problem with available anti-androgen drugs is that prostate tumors eventually become drug resistant resulting in so-called androgen-resistant prostate cancer. One cause of this is an increase in the levels of AR produced by the prostate cancer cells. A solution to this problem may lie in disrupting the protein folding of AR by interfering with its interaction with FKBP52 using these compounds.

POTENTIAL COMMERCIAL APPLICATIONS

- Use of the compounds for treatment of prostate cancer and benign prostate enlargement
- Use of the compounds in treating insulin-independent diabetes
- Use of the compounds as male or female contraceptives
- Use in screening for compounds that inhibit of FKBP52-enhanced AR activity

COMPETITIVE ADVANTAGES

- The compounds do not compete with androgens and specifically inhibit FKBP52-enhanced AR function
- Potential for synergistic use with conventional anti-androgens for treatment of androgen resistant prostate cancer

INVENTOR(S)

[Len Neckers \(NCI\)](#), Jane Trepel (NCI), Yeong Sang Kim (NCI)

DEVELOPMENT STAGE

- Pre-clinical (in vivo)

PATENT STATUS

- **U.S. Filed:** U.S. Patent Application No. 14/955,505, filed December 1, 2015

RELATED TECHNOLOGIES

- E-065-2013

THERAPEUTIC AREA

- Cancer/Neoplasm